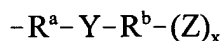


**WHAT IS CLAIMED IS:**

1. A glycopeptide compound having at least one substituent of the formula:



wherein

- 5 each  $R^a$  is independently alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene, substituted alkynylene, cycloalkylene, substituted cycloalkylene, cycloalkenylene, substituted cycloalkenylene, arylene, heteroarylene, heterocyclene, -C(O)-alkylene, substituted -C(O)-alkylene, -C(O)-alkenylene, substituted -C(O)-alkenylene, -C(O)-alkynylene, substituted -C(O)-alkynylene, -C(O)-cycloalkylene, substituted -C(O)-cycloalkylene, -C(O)-cycloalkenylene, substituted -C(O)-cycloalkenylene, -C(O)-arylene, -C(O)-heteroarylene, or -C(O)-heterocyclene;
- 10 each  $R^b$  is independently a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene, substituted alkynylene, cycloalkylene, substituted cycloalkylene, cycloalkenylene, or substituted cycloalkenylene; provided  $R^b$  is not a covalent bond when Z is hydrogen;
- 15 each Y is independently selected from the group consisting of oxygen, sulfur, -S-S-, -S-C(=O)-, -C(=O)-S-, -NR<sup>c</sup>-, -S(O)-, -SO<sub>2</sub>-, -NR<sup>c</sup>C(O)-, -OSO<sub>2</sub>-, -OC(O)-, -NR<sup>c</sup>SO<sub>2</sub>-, -C(O)NR<sup>c</sup>-, -C(O)O-, -SO<sub>2</sub>NR<sup>c</sup>-, -SO<sub>2</sub>O-, -P(O)(OR<sup>c</sup>)O-, -P(O)(OR<sup>c</sup>)NR<sup>c</sup>-, -OP(O)(OR<sup>c</sup>)O-, -OP(O)(OR<sup>c</sup>)NR<sup>c</sup>-, -OC(O)O-, -NR<sup>c</sup>C(O)O-, -NR<sup>c</sup>C(O)NR<sup>c</sup>-, -OC(O)NR<sup>c</sup>-, C(=O), and -NR<sup>c</sup>SO<sub>2</sub>NR<sup>c</sup>-;
- 20 each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;
- 25 each R<sup>c</sup> is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl,

substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and  $-C(O)R^d$ ;

each  $R^d$  is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic; and

$x$  is 1 or 2;

or a pharmaceutically acceptable salt, stereoisomer, or prodrug thereof;

provided that at least one  $Y$  is  $-S-S-$  or  $-S-C(=O)-$ ; and

provided the glycopeptide is not substituted at the carboxy terminus with a substituent that comprises more than one carboxy group; and

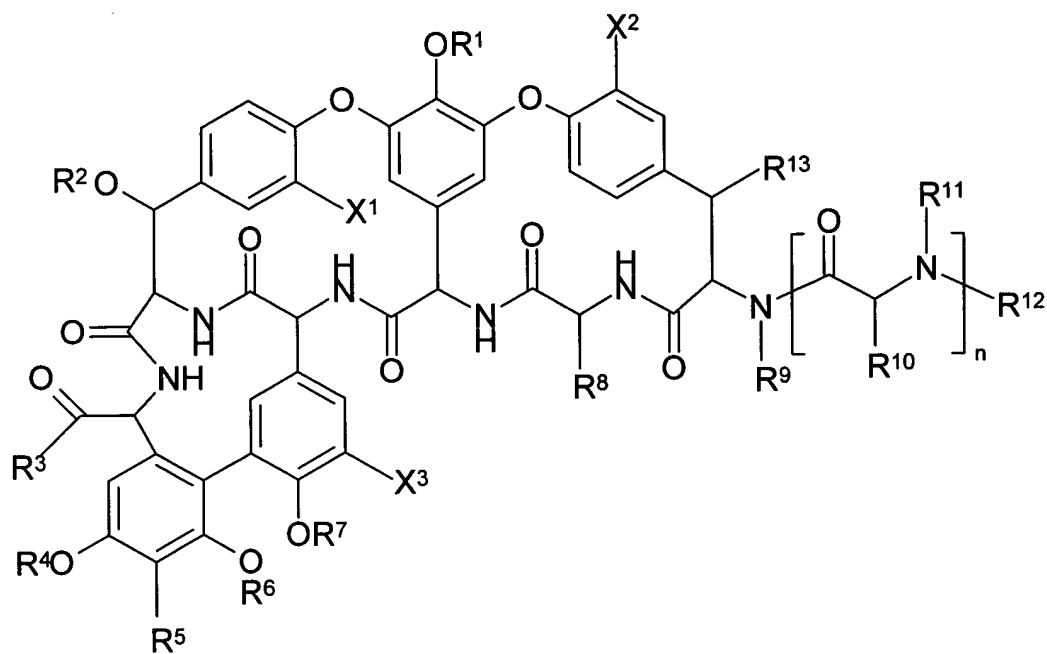
provided the glycopeptide is not substituted at the carboxy terminus with a substituent that comprises one or more saccharide groups and a carboxy group; and

provided the glycopeptide is not substituted on a saccharide nitrogen that corresponds to  $N^{van}$  with a substituent that comprises two or more hydroxy groups.

2. The glycopeptide of claim 1 wherein each  $R^a$  is selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene.

3. The glycopeptide of claim 1 wherein each  $R^b$  is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided  $R^b$  is not a covalent bond when  $Z$  is hydrogen.

4. The glycopeptide of claim 1 which is a compound of formula I:



(I)

wherein:

$R^1$  is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic,  $-R^a-Y-R^b-(Z)_x$ ; or a saccharide group optionally substituted with  $-R^a-Y-R^b-(Z)_x$ ;

$R^2$  is hydrogen or a saccharide group optionally substituted with  $-R^a-Y-R^b-(Z)_x$ ,  $R^f$ ,  $-C(O)R^f$ , or  $-C(O)-R^a-Y-R^b-(Z)_x$ ;

$R^3$  is  $-OR^c$ ,  $-NR^cR^c$ ,  $-O-R^a-Y-R^b-(Z)_x$ ,  $-NR^c-R^a-Y-R^b-(Z)_x$ ,  $-NR^cR^e$ , or  $-O-R^c$ ;

$R^4$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,  $-R^a-Y-R^b-(Z)_x$ ,  $-C(O)R^d$  and

a saccharide group optionally substituted with  $-R^a-Y-R^b-(Z)_x$ ,  $R^f$ ,  $-C(O)R^f$ , or  $-C(O)-R^a-Y-R^b-(Z)_x$ ;

$R^5$  is selected from the group consisting of hydrogen, halo,  $-CH(R^c)-NR^cR^c$ ,  $-CH(R^c)-NR^cR^c$ ,  $-CH(R^c)-NR^c-R^a-Y-R^b-(Z)_x$ ,  $-CH(R^c)-R^x$ , and

5  $-CH(R^c)-NR^c-R^a-C(=O)-R^x$ ;

$R^6$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,  $-R^a-Y-R^b-(Z)_x$ ,  $-C(O)R^d$  and a saccharide group optionally substituted with  $-NR^c-R^a-Y-R^b-(Z)_x$ , or  $R^5$  and  $R^6$  can be joined, together with the atoms to which they are attached, form a heterocyclic ring optionally substituted with  $-NR^c-R^a-Y-R^b-(Z)_x$ ;

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$R^7$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,  $-R^a-Y-R^b-(Z)_x$ , and  $-C(O)R^d$ ;

$R^8$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

15

$R^9$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

$R^{10}$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic; or  $R^8$  and  $R^{10}$  are joined to form  $-Ar^1-O-Ar^2-$ , where  $Ar^1$  and  $Ar^2$  are independently arylene or heteroarylene;

20

$R^{11}$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic, or

25

$R^{10}$  and  $R^{11}$  are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

$R^{12}$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic,  
5  $-C(O)R^d$ ,  $-C(NH)R^d$ ,  $-C(O)NR^cR^c$ ,  $-C(O)OR^d$ ,  $-C(NH)NR^cR^c$  and  $-R^a-Y-R^b-(Z)_x$ ,  
or  $R^{11}$  and  $R^{12}$  are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

$R^{13}$  is selected from the group consisting of hydrogen or  $-OR^{14}$ ;

10  $R^{14}$  is selected from hydrogen,  $-C(O)R^d$  and a saccharide group;

each  $R^a$  is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

each  $R^b$  is independently selected from the group consisting of a covalent bond,  
15 alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided  $R^b$  is not a covalent bond when Z is hydrogen;

each  $R^c$  is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl,  
20 heterocyclic and  $-C(O)R^d$ ;

each  $R^d$  is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

$R^e$  is a saccharide group;

25 each  $R^f$  is independently alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, or heterocyclic;

R<sup>x</sup> is a nitrogen-linked amino saccharide or a nitrogen-linked heterocycle;

X<sup>1</sup>, X<sup>2</sup> and X<sup>3</sup> are independently selected from hydrogen or chloro;

each Y is independently selected from the group consisting of oxygen, sulfur,

- 5    -S-S-, -S-C(=O)-, -C(=O)-S-, -NR<sup>c</sup>-, -S(O)-, -SO<sub>2</sub>-, -NR<sup>c</sup>C(O)-, -OSO<sub>2</sub>-,  
-OC(O)-, -NR<sup>c</sup>SO<sub>2</sub>-, -C(O)NR<sup>c</sup>-, -C(O)O-, -SO<sub>2</sub>NR<sup>c</sup>-, -SO<sub>2</sub>O-, -P(O)(OR<sup>c</sup>)O-,  
-P(O)(OR<sup>c</sup>)NR<sup>c</sup>-, -OP(O)(OR<sup>c</sup>)O-, -OP(O)(OR<sup>c</sup>)NR<sup>c</sup>-, -OC(O)O-, -NR<sup>c</sup>C(O)O-,  
-NR<sup>c</sup>C(O)NR<sup>c</sup>-, -OC(O)NR<sup>c</sup>-, C(=O), and -NR<sup>c</sup>SO<sub>2</sub>NR<sup>c</sup>-;

each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl,  
heteroaryl and heterocyclic;

- 10    *n* is 0, 1 or 2; and

*x* is 1 or 2;

or a pharmaceutically acceptable salt, stereoisomer, or prodrug thereof;

wherein the glycopeptide is substituted with one or more groups wherein Y is

-S-S-, or -S-C(=O)-;

- 15    provided R<sup>3</sup> is not a substituent that comprises more than one carboxy group.

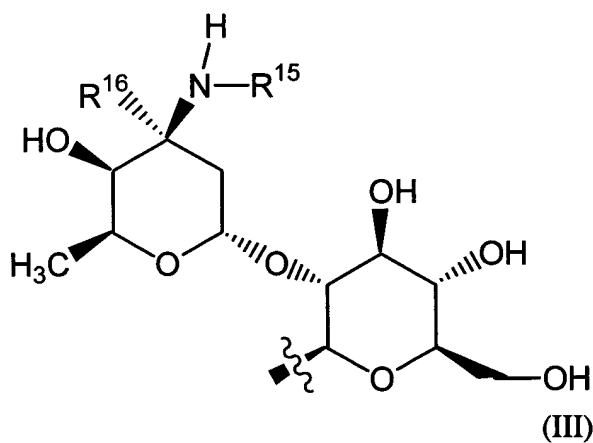
5.    The glycopeptide of claim 4 wherein R<sup>1</sup> is an amino saccharide group  
substituted on the amine with a substituent that comprises one or more disulfide or  
thioester bonds.

6.    The glycopeptide of claim 4 wherein R<sup>1</sup> is an amino saccharide group  
20    substituted on the amine with a group of formula -R<sup>a</sup>-W-R<sup>b</sup> wherein: W is -S-S- or  
-S-C(=O)- and R<sup>b</sup> is alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl,  
substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted  
cycloalkenyl, aryl, heteroaryl, or heterocyclic.

7. The glycopeptide of claim 4 wherein  $R^a$  is alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene, substituted alkynylene,  $-C(O)$ -alkylene, substituted  $-C(O)$ -alkylene,  $-C(O)$ -alkenylene, substituted  $-C(O)$ -alkenylene,  $-C(O)$ -alkynylene, or substituted  $-C(O)$ -alkynylene.

5 8. The glycopeptide of claim 4 wherein  $R^b$  is alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, or substituted alkynyl.

9. The glycopeptide of claim 4 wherein  $R^1$  is a saccharide group of formula (III):



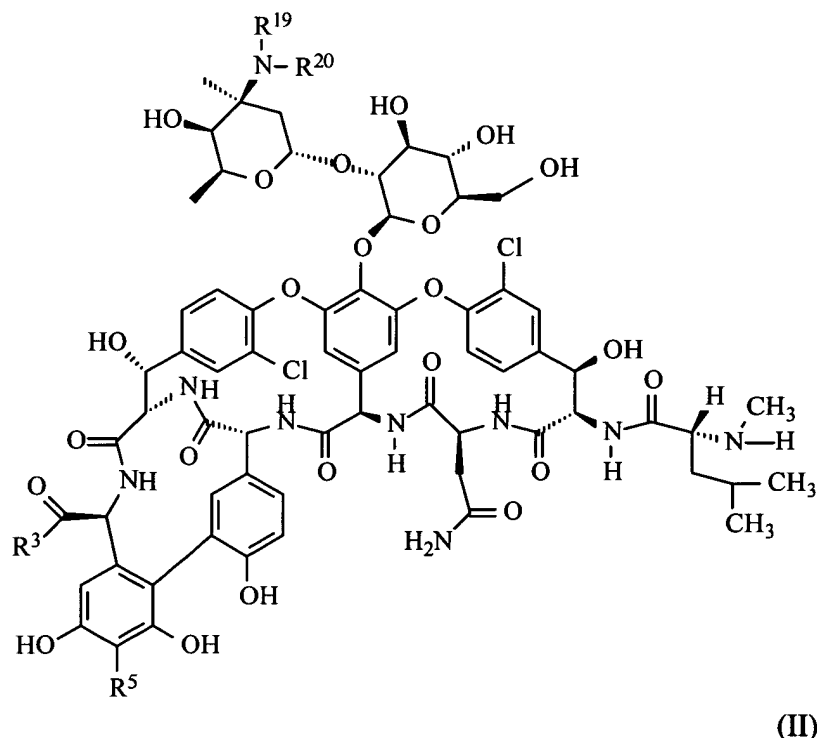
wherein  $R^{15}$  is  $-R^a-W-R^h$ ; and  $R^{16}$  is hydrogen or methyl.

10. The glycopeptide of claim 4 wherein  $R^2$ ,  $R^4$ ,  $R^6$ , and  $R^7$  are each hydrogen.

10 11. The glycopeptide of claim 4 wherein  $R^3$  is  $-OH$ .

12. The glycopeptide of claim 4 wherein  $R^5$  is hydrogen,  $-CH_2-NHR^c$ ,  $-CH_2-NR^cR^e$  or  $-CH_2-NH-R^a-Y-R^b-(Z)_x$ .

13. The glycopeptide of claim 4 which is a compound of formula II:



wherein:

$R^{19}$  is hydrogen;

$R^{20}$  is  $-R^a-W-R^h$ ;

- 5  $R^a$  is alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene, substituted alkynylene, cycloalkylene, substituted cycloalkylene, cycloalkenylene, substituted cycloalkenylene, arylene, heteroarylene, heterocyclene, -C(O)-alkylene, substituted -C(O)-alkylene, -C(O)-alkenylene, substituted -C(O)-alkenylene, -C(O)-alkynylene, substituted -C(O)-alkynylene, -C(O)-cycloalkylene, substituted -C(O)-cycloalkylene, -C(O)-cycloalkenylene, substituted -C(O)-cycloalkenylene, -C(O)-arylene, -C(O)-heteroarylene, or -C(O)-heterocyclene;
- 10



R<sup>h</sup> is alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, or heterocyclic;

W is -S-S- or -S-C(=O)- and

- 5        R<sup>3</sup>, and R<sup>5</sup> have the values defined in claim 4;  
or a pharmaceutically acceptable salt, stereoisomer, or prodrug thereof.

- 10       14.    The glycopeptide of claim 13 wherein R<sup>a</sup> is alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene, substituted alkynylene, -C(O)-alkylene, substituted -C(O)-alkylene, -C(O)-alkenylene, substituted -C(O)-alkenylene, -C(O)-alkynylene, or substituted -C(O)-alkynylene; and R<sup>h</sup> is alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, or substituted alkynyl.

15.    The glycopeptide of claim 13 wherein R<sup>20</sup> is -(CH<sub>2</sub>)<sub>3</sub>S-S(CH<sub>2</sub>)<sub>7</sub>CH<sub>3</sub>.

- 15       16.    A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of claim 1.

17.    The pharmaceutical composition of claim 16, which comprises a cyclodextrin.

18.    A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of claim 1

- 20       19.    A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of claim 4.

20. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of claim 13.

5 21. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a pharmaceutical composition of claim 16.

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